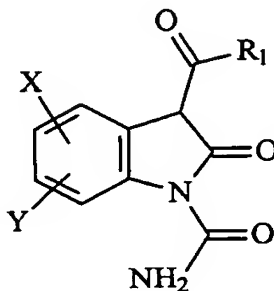


WHAT IS CLAIMED IS:

1. A method of treating hair loss comprising administering to a mammal a composition comprising a compound having the structure:

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or a pharmaceutically acceptable salt, hydrate, tautomer, or biohydrolyzable amide or ester thereof, wherein:

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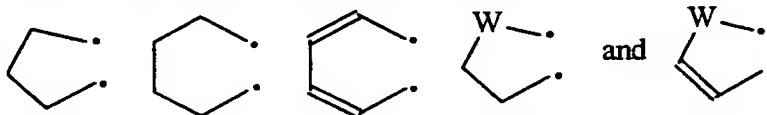
- (a) X is selected from the group consisting of hydrogen, fluoro, chloro, bromo, nitro, cyano, thio, alkyl having 1 to 6 carbon atoms, cycloalkyl having 3 to 8 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, trifluoromethyl, alkylsulfonyl having 1 to 4 carbon atoms, phenyl, alkanoyl having 2 to 4 carbon atoms, benzoyl, thenoyl, alkanamido having 2 to 4 carbon atoms, benzamido, and N,N-dialkylsulfamoyl;

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- (b) Y is selected from the group consisting of hydrogen, fluoro, chloro, bromo, alkyl having 1 to 4 carbon atoms, cycloalkyl having 3 to 7 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, and trifluoromethyl;

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- (c) or wherein X and Y are bonded together to form a 4,5-, 5,6-, or 6,7-methylenedioxy group or a 4,5-, 5,6-, or 6,7-ethylenedioxy group; or wherein when X and Y are bonded together and attached to adjacent carbon atoms, form a divalent radical Z, wherein Z is selected from the group consisting of:



wherein W is selected from the group consisting of oxygen and sulfur;

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- (d) R₁ is selected from the group consisting of alkyl having 1 to 6 carbon atoms, cycloalkyl having 3 to 7 carbon atoms, cycloalkenyl having 4 to 7 carbons, phenyl, substituted phenyl, phenylalkyl, (substituted phenyl)alkyl, phenoxyalkyl, (substituted phenoxy)alkyl, naphthyl, bicyclo[2.2.1]heptan-2-yl, bicyclo[2.2.1]hept-5-en-2-yl, and -(CH₂)_n-Q-R₀; wherein there are 1 or 2 substituents on the substituted phenyl, the

(substituted phenyl)alkyl, and the (substituted phenoxy)alkyl which are each, independently, selected from the group consisting of fluoro, chloro, bromo, alkyl having 1 to 4 carbon atoms, alkoxy having 1 to 4 carbon atoms, and trifluoromethyl;

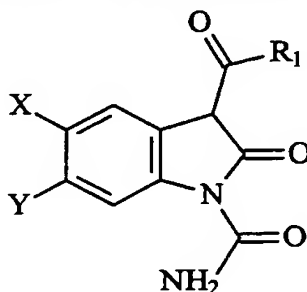
(e) n is an integer selected from the group consisting of 0, 1, and 2;

5 (f) Q is selected from the group consisting of furan, thiophene, pyrrole, pyrazole, imidazole, thiazole, isothiazole, oxazole, isoxazole, 1,2,3-thiadiazole, 1,3,4-thiadiazole, 1,2,5-thiadiazole, tetrahydrofuran, tetrahydrothiophene, tetrahydropyran, tetrahydrothiopyran, pyridine, pyrimidine, pyrazine, benzo[b]furan, and benzo[b]thiophene; and

10 (g) R_0 is selected from the group consisting of hydrogen, chloro, fluoro, bromo, and alkyl having 1 to 4 carbon atoms.

2. A method according to Claim 1 wherein X is selected from the group consisting of hydrogen, fluoro, chloro, bromo, nitro, trifluoromethyl, methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, -SOCH₃, -SOC₄H₉, -SO₂CH₃, -SO₂C₄H₉, methoxy, ethoxy, *n*-propoxy, *iso*-propoxy, *n*-butoxy, *iso*-butoxy, -SCH₃, -SC₄H₉, phenyl, alkanoyl having 2 to 3 carbon atoms, benzoyl, thenoyl, alkanamido having 2 carbon atoms, -NHCOCH(CH₃)₂, benzamido, and *N,N*-dialkylsulfamoyl.

20 3. A method according to Claim 2 wherein the compound has the structure:



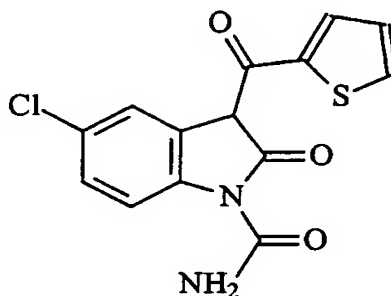
4. A method according to Claim 3 wherein Y is selected from the group consisting of hydrogen, fluoro, chloro, bromo, methyl, and methoxy.

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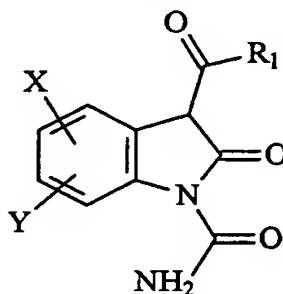
5. A method according to Claim 4 wherein R_1 is $-(CH_2)_n-Q-R_0$.

6. A method according to Claim 5 wherein Y is hydrogen, n is 0, Q is selected from the group consisting of furan, thiophene, and pyrrole, and R_0 is hydrogen.

7. A method according to Claim 6 wherein the compound has the structure:



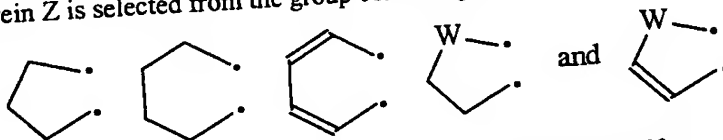
8. A method according to Claim 7 wherein the administration is topical.
9. A method according to Claim 8 further comprising topically administering minoxidil to the mammal.
10. A composition comprising minoxidil and a compound having the structure:



or a pharmaceutically acceptable salt, hydrate, tautomer, or biohydrolyzable amide or ester thereof, wherein:

- (a) X is selected from the group consisting of hydrogen, fluoro, chloro, bromo, nitro, cyano, thio, alkyl having 1 to 6 carbon atoms, cycloalkyl having 3 to 8 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, trifluoromethyl, alkylsulfonyl having 1 to 4 carbon atoms, phenyl, alkanoyl having 2 to 4 carbon atoms, benzoyl, thenoyl, alkanamido having 2 to 4 carbon atoms, benzamido, and N,N-dialkylsulfamoyl;
- (b) Y is selected from the group consisting of hydrogen, fluoro, chloro, bromo, alkyl having 1 to 4 carbon atoms, cycloalkyl having 3 to 7 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, and trifluoromethyl;

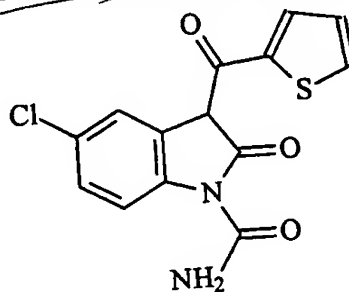
- (c) or wherein X and Y are bonded together to form a 4,5-, 5,6-, or 6,7-methylenedioxy group or a 4,5-, 5,6-, or 6,7-ethylenedioxy group; or wherein when X and Y are bonded together and attached to adjacent carbon atoms, form a divalent radical Z, wherein Z is selected from the group consisting of:



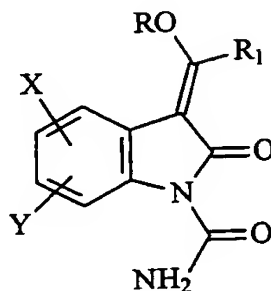
wherein W is selected from the group consisting of oxygen and sulfur;

- (d) R_1 is selected from the group consisting of alkyl having 1 to 6 carbon atoms, cycloalkyl having 3 to 7 carbon atoms, cycloalkenyl having 4 to 7 carbons, phenyl, substituted phenyl, phenylalkyl, (substituted phenyl)alkyl, phenoxyalkyl, (substituted phenoxy)alkyl, naphthyl, bicyclo[2.2.1]heptan-2-yl, bicyclo[2.2.1]hept-5-en-2-yl, and $-(CH_2)_n-Q-R_0$; wherein there are 1 or 2 substituents on the substituted phenyl, the (substituted phenyl)alkyl, and the (substituted phenoxy)alkyl which are each, independently, selected from the group consisting of fluoro, chloro, bromo, alkyl having 1 to 4 carbon atoms, alkoxy having 1 to 4 carbon atoms, and trifluoromethyl;
- (e) n is an integer selected from the group consisting of 0, 1, and 2;
- (f) Q is selected from the group consisting of furan, thiophene, pyrrole, pyrazole, imidazole, thiazole, isothiazole, oxazole, isoxazole, 1,2,3-thiadiazole, 1,3,4-thiadiazole, 1,2,5-thiadiazole, tetrahydrofuran, tetrahydrothiophene, tetrahydropyran, tetrahydrothiopyran, pyridine, pyrimidine, pyrazine, benzo[b]furan, and benzo[b]thiophene; and
- (g) R_0 is selected from the group consisting of hydrogen, chloro, fluoro, bromo, and alkyl having 1 to 4 carbon atoms.

11. A composition according to Claim 10 wherein the compound has the structure:

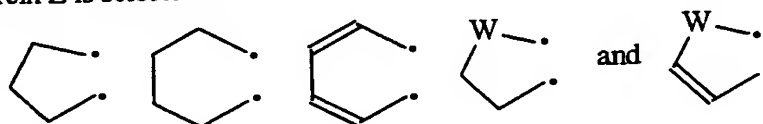


12. A method of treating hair loss comprising administering to a mammal a composition comprising a compound having the structure:



or a pharmaceutically acceptable salt, hydrate, or tautomer thereof, wherein:

- 5 (a) X is selected from hydrogen, fluoro, chloro, bromo, nitro, cyano, thio, alkyl having 1 to 6 carbon atoms, cycloalkyl having 3 to 8 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, trifluoromethyl, alkylsulfonyl having 1 to 4 carbon atoms, phenyl, alkanoyl having 2 to 4 carbon atoms, benzoyl, thenoyl, alkanamido having 2 to 4 carbon atoms, benzamido, and N,N-dialkylsulfamoyl;
- 10 (b) Y is selected from hydrogen, fluoro, chloro, bromo, alkyl having 1 to 4 carbon atoms, cycloalkyl having 3 to 7 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, and trifluoromethyl;
- (c) or wherein X and Y are bonded together to form a 4,5-, 5,6-, or 6,7-methylenedioxy group or a 4,5-, 5,6-, or 6,7-ethylenedioxy group; or wherein when X and Y are bonded together and attached to adjacent carbon atoms, form a divalent radical Z,
- 15 wherein Z is selected from:



wherein W is selected from oxygen and sulfur;

- 20 (d) R₁ is selected from alkyl having 1 to 6 carbon atoms, cycloalkyl having 3 to 7 carbon atoms, cycloalkenyl having 4 to 7 carbons, phenyl, substituted phenyl, phenylalkyl, (substituted phenyl)alkyl, phenoxyalkyl, (substituted phenoxy)alkyl, naphthyl, bicyclo[2.2.1]heptan-2-yl, bicyclo[2.2.1]hept-5-en-2-yl, and -(CH₂)_n-Q-R₀; wherein there are 1 or 2 substituents on the substituted phenyl, the (substituted phenyl)alkyl, and the (substituted phenoxy)alkyl which are each, independently, selected from the
- 25 group consisting of fluoro, chloro, bromo, alkyl having 1 to 4 carbon atoms, alkoxy having 1 to 4 carbon atoms, and trifluoromethyl;
- (e) n is an integer selected from 0, 1, and 2;
- (f) Q is selected from furan, thiophene, pyrrole, pyrazole, imidazole, thiazole, isothiazole, oxazole, isoxazole, 1,2,3-thiadiazole, 1,3,4-thiadiazole, 1,2,5-thiadiazole,

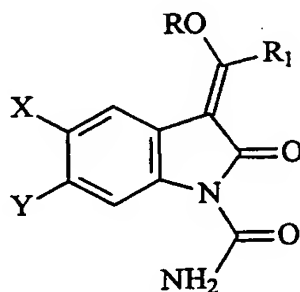
tetrahydrofuran, tetrahydrothiophene, tetrahydropyran, tetrahydrothiopyran, pyridine, pyrimidine, pyrazine, benzo[b]furan, and benzo[b]thiophene;

(g) R_0 is selected from hydrogen, chloro, fluoro, bromo, and alkyl having 1 to 4 carbon atoms; and

(h) R is selected from alkanoyl having 2 to 10 carbon atoms, phenylalkanoyl having 7 to 10 carbon atoms, alkoxycarbonyl having 2 to 10 carbon atoms, phenoxycarbonyl, alkylsulfonyl having 1 to 4 carbon atoms, and alkyl having 1 to 4 carbon atoms.

13. A method according to Claim 12 wherein X is selected from the group consisting of hydrogen, fluoro, chloro, bromo, nitro, trifluoromethyl, methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, $-\text{SOCH}_3$, $-\text{SOC}_4\text{H}_9$, $-\text{SO}_2\text{CH}_3$, $-\text{SO}_2\text{C}_4\text{H}_9$, methoxy, ethoxy, *n*-propoxy, *iso*-propoxy, *n*-butoxy, *iso*-butoxy, $-\text{SCH}_3$, $-\text{SC}_4\text{H}_9$, phenyl, alkanoyl having 2 to 3 carbon atoms, benzoyl, thenoyl, alkanamido having 2 carbon atoms, $-\text{NHCOCH}(\text{CH}_3)_2$, benzamido, and *N*-*N*-dialkylsulfamoyl.

14. A method according to Claim 13 wherein the compound has the structure:

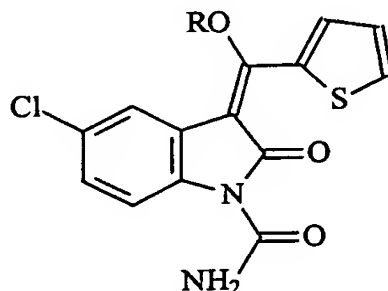


15. A method according to Claim 14 wherein Y is selected from the group consisting of hydrogen, fluoro, and chloro.

16. A method according to Claim 15 wherein R_1 is $-(\text{CH}_2)_n-\text{Q}-\text{R}_0$.

17. A method according to Claim 16 wherein Y is hydrogen, n is 0, Q is selected from the group consisting of furan, thiophene, and pyrrole, and R_0 is hydrogen.

18. A method according to Claim 17 wherein the compound has the structure:

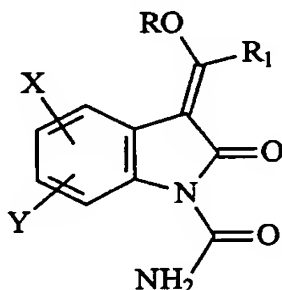


5 19. A method according to Claim 18 wherein R is selected from the group consisting of alkanoyl having 2 to 4 carbon atoms and alkyl having 1 to 3 carbon atoms.

20. A method according to Claim 19 wherein the administration is topical.

10 21. A method according to Claim 20 further comprising topically administering minoxidil to the mammal.

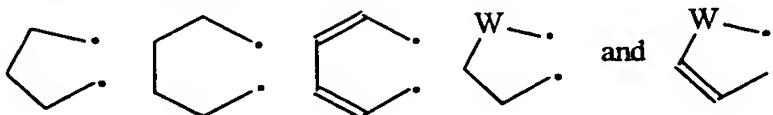
22. A composition comprising minoxidil and a compound having the structure:



15 or a pharmaceutically acceptable salt, hydrate, or tautomer thereof, wherein:

- (a) X is selected from hydrogen, fluoro, chloro, bromo, nitro, cyano, thio, alkyl having 1 to 6 carbon atoms, cycloalkyl having 3 to 8 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, trifluoromethyl, alkylsulfonyl having 1 to 4 carbon atoms, phenyl, alkanoyl having 2 to 4 carbon atoms, benzoyl, thenoyl, alkanamido having 2 to 4 carbon atoms, benzamido, and N,N-dialkylsulfamoyl;
- 20 (b) Y is selected from hydrogen, fluoro, chloro, bromo, alkyl having 1 to 4 carbon atoms, cycloalkyl having 3 to 7 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, and trifluoromethyl;
- (c) or wherein X and Y are bonded together to form a 4,5-, 5,6-, or 6,7-methylenedioxy group or a 4,5-, 5,6-, or 6,7-ethylenedioxy group; or wherein when X and Y are
- 25

bonded together and attached to adjacent carbon atoms, form a divalent radical Z, wherein Z is selected from:



wherein W is selected from oxygen and sulfur;

- 5 (d) R_1 is selected from alkyl having 1 to 6 carbon atoms, cycloalkyl having 3 to 7 carbon atoms, cycloalkenyl having 4 to 7 carbons, phenyl, substituted phenyl, phenylalkyl, (substituted phenyl)alkyl, phenoxyalkyl, (substituted phenoxy)alkyl, naphthyl, bicyclo[2.2.1]heptan-2-yl, bicyclo[2.2.1]hept-5-en-2-yl, and $-(CH_2)_n-Q-R_0$; wherein there are 1 or 2 substituents on the substituted phenyl, the (substituted phenyl)alkyl, and the (substituted phenoxy)alkyl which are each, independently, selected from the group consisting of fluoro, chloro, bromo, alkyl having 1 to 4 carbon atoms, alkoxy having 1 to 4 carbon atoms, and trifluoromethyl;
- 10 (e) n is an integer selected from 0, 1, and 2;
- (f) Q is selected from furan, thiophene, pyrrole, pyrazole, imidazole, thiazole, isothiazole, oxazole, isoxazole, 1,2,3-thiadiazole, 1,3,4-thiadiazole, 1,2,5-thiadiazole, tetrahydrofuran, tetrahydrothiophene, tetrahydropyran, tetrahydrothiopyran, pyridine, pyrimidine, pyrazine, benzo[b]furan, and benzo[b]thiophene;
- 15 (g) R_0 is selected from hydrogen, chloro, fluoro, bromo, and alkyl having 1 to 4 carbon atoms; and
- 20 (h) R is selected from alkanoyl having 2 to 10 carbon atoms, phenylalkanoyl having 7 to 10 carbon atoms, alkoxycarbonyl having 2 to 10 carbon atoms, phenoxy carbonyl, alkylsulfonyl having 1 to 4 carbon atoms, and alkyl having 1 to 4 carbon atoms.

23. A composition according to Claim 22 wherein the compound has the structure:

